

10589952

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1626GMS

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS	1			Web Page for STN Seminar Schedule - N. America
NEWS	2	OCT	02	CA/CAPLUS enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS	3	OCT	19	BEILSTEIN updated with new compounds
NEWS	4	NOV	15	Derwent Indian patent publication number format enhanced
NEWS	5	NOV	19	WPIX enhanced with XML display format
NEWS	6	NOV	30	ICSD reloaded with enhancements
NEWS	7	DEC	04	LINPADOCDB now available on STN
NEWS	8	DEC	14	BEILSTEIN pricing structure to change
NEWS	9	DEC	17	USPATOLD added to additional database clusters
NEWS	10	DEC	17	IMSDRUGCONF removed from database clusters and STN
NEWS	11	DEC	17	DGENE now includes more than 10 million sequences
NEWS	12	DEC	17	TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS	13	DEC	17	MEDLINE and LMEALINE updated with 2008 MeSH vocabulary
NEWS	14	DEC	17	CA/CAPLUS enhanced with new custom IPC display formats
NEWS	15	DEC	17	STN Viewer enhanced with full-text patent content from USPATOLD
NEWS	16	JAN	02	STN pricing information for 2008 now available
NEWS	17	JAN	16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS	18	JAN	28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS	19	JAN	28	MARPAT searching enhanced
NEWS	20	JAN	28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS	21	JAN	28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS	22	JAN	28	MEDLINE and LMEALINE reloaded with enhancements
NEWS	23	FEB	08	STN Express, Version 8.3, now available
NEWS	24	FEB	20	PCI now available as a replacement to DPCI
NEWS	25	FEB	25	IFIREF reloaded with enhancements
NEWS	26	FEB	25	IMSPRODUCT reloaded with enhancements
NEWS	27	FEB	29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification

NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items

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NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 14:52:13 ON 04 MAR 2008

=>

Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Do you want to switch to the Registry File?

Choice (Y/n):

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:52:34 ON 04 MAR 2008

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STRUCTURE FILE UPDATES: 3 MAR 2008 HIGHEST RN 1006431-93-1
DICTIONARY FILE UPDATES: 3 MAR 2008 HIGHEST RN 1006431-93-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

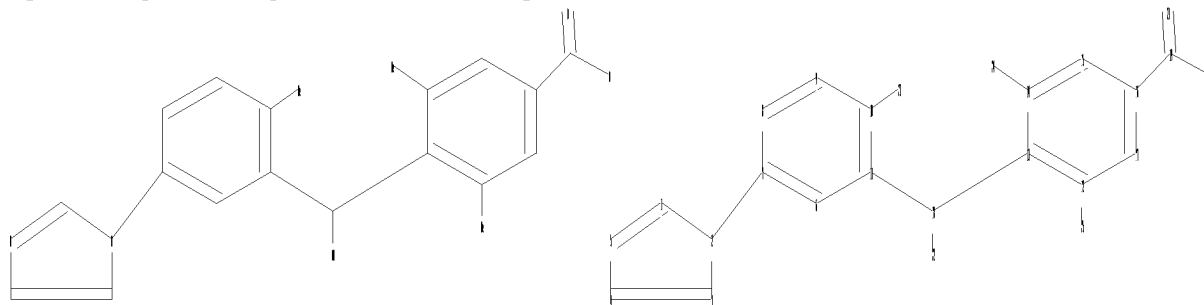
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

10589952

=>

Uploading C:\Program Files\Stnexp\Queries\10589952.str



chain nodes :

18 19 20 21 22 23 24 25

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17

chain bonds :

2-7 10-23 11-18 12-25 13-18 14-24 16-19 18-22 19-20 19-21

ring bonds :

1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11 12-13 12-17 13-14
14-15 15-16 16-17

exact/norm bonds :

1-2 1-5 2-3 2-7 4-5 18-22 19-20 19-21

exact bonds :

3-4 10-23 11-18 12-25 13-18 14-24 16-19

normalized bonds :

6-7 6-11 7-8 8-9 9-10 10-11 12-13 12-17 13-14 14-15 15-16 16-17

isolated ring systems :

containing 1 : 6 : 12 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS

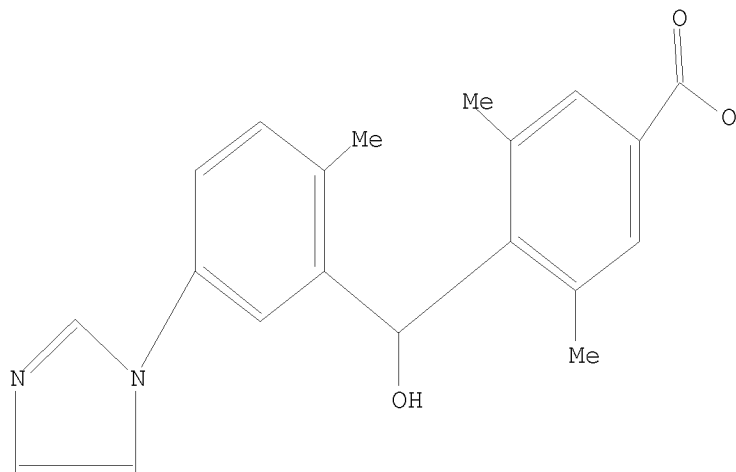
L1 STRUCTURE UPLOADED

=> D L1

L1 HAS NO ANSWERS

L1 STR

10589952



Structure attributes must be viewed using STN Express query preparation.

=> S L1

SAMPLE SEARCH INITIATED 14:52:50 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 9 TO ITERATE

100.0% PROCESSED 9 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 9 TO 360

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> S L1 SSS FULL

FULL SEARCH INITIATED 14:52:56 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 191 TO ITERATE

100.0% PROCESSED 191 ITERATIONS

18 ANSWERS

SEARCH TIME: 00.00.01

L3 18 SEA SSS FUL L1

=> FIL HCAPLUS

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

178.36

178.57

FILE 'HCAPLUS' ENTERED AT 14:53:04 ON 04 MAR 2008

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FILE COVERS 1907 - 4 Mar 2008 VOL 148 ISS 10
FILE LAST UPDATED: 3 Mar 2008 (20080303/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> S L3
L4          41 L3

=> S L4 AND SILANE AGENT
          90905 SILANE
          35729 SILANES
          106073 SILANE
              (SILANE OR SILANES)
          889397 AGENT
          1312768 AGENTS
          1834856 AGENT
              (AGENT OR AGENTS)
          105 SILANE AGENT
              (SILANE(W)AGENT)
L5          1 L4 AND SILANE AGENT

=> S L4 AND POLYMETHYLHYDROSILOXANE
          428 POLYMETHYLHYDROSILOXANE
          31 POLYMETHYLHYDROSILOXANES
          445 POLYMETHYLHYDROSILOXANE
              (POLYMETHYLHYDROSILOXANE OR POLYMETHYLHYDROSILOXANES)
L6          0 L4 AND POLYMETHYLHYDROSILOXANE

=> S L4 AND METHYLHYDROSILOXANE
          399 METHYLHYDROSILOXANE
          40 METHYLHYDROSILOXANES
          424 METHYLHYDROSILOXANE
              (METHYLHYDROSILOXANE OR METHYLHYDROSILOXANES)
L7          0 L4 AND METHYLHYDROSILOXANE

=> S L4 AND HYDROSILOXANE
          227 HYDROSILOXANE
          138 HYDROSILOXANES
          319 HYDROSILOXANE
              (HYDROSILOXANE OR HYDROSILOXANES)
L8          0 L4 AND HYDROSILOXANE

=> S L4 AND ZINC COMPOUND
```

10589952

657209 ZINC
141 ZINCS
657235 ZINC
(ZINC OR ZINCS)
134915 COMPOUND
900310 COMPOUNDS
1014278 COMPOUND
(COMPOUND OR COMPOUNDS)
1200343 COMPD
1782025 COMPDS
2555974 COMPD
(COMPD OR COMPDS)
3015704 COMPOUND
(COMPOUND OR COMPD)
6232 ZINC COMPOUND
(ZINC(W)COMPOUND)
L9 1 L4 AND ZINC COMPOUND

=> S L4 AND PROCESS
2575938 PROCESS
1755053 PROCESSES
3839882 PROCESS
(PROCESS OR PROCESSES)
L10 3 L4 AND PROCESS

=> S L10 AND ZINC
657209 ZINC
141 ZINCS
657235 ZINC
(ZINC OR ZINCS)
L11 1 L10 AND ZINC

=> S L10 AND SILANE
90905 SILANE
35729 SILANES
106073 SILANE
(SILANE OR SILANES)
L12 1 L10 AND SILANE

=> FIL REGISTRY		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	24.21	202.78

FILE 'REGISTRY' ENTERED AT 14:58:29 ON 04 MAR 2008
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DICTIONARY FILE UPDATES: 3 MAR 2008 HIGHEST RN 1006431-93-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

10589952

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

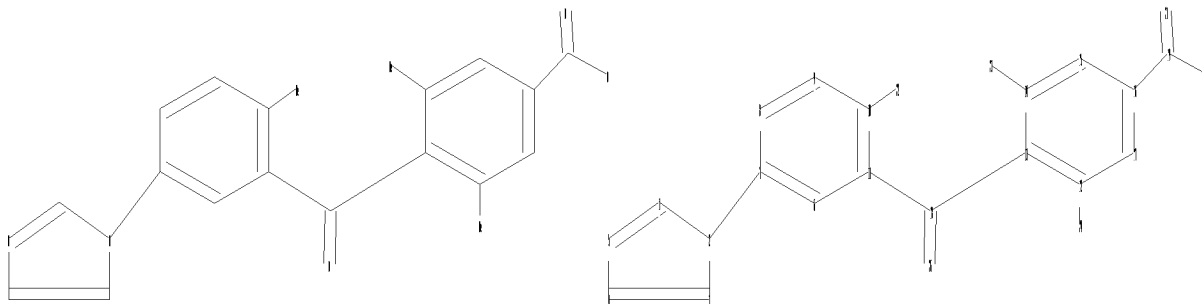
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10589952A.str



chain nodes :
18 19 20 21 22 23 24 26
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17
chain bonds :
2-7 10-22 11-18 12-24 13-18 14-23 16-19 18-26 19-20 19-21
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11 12-13 12-17 13-14
14-15 15-16 16-17
exact/norm bonds :
1-2 1-5 2-3 2-7 4-5 18-26 19-20 19-21
exact bonds :
3-4 10-22 11-18 12-24 13-18 14-23 16-19
normalized bonds :
6-7 6-11 7-8 8-9 9-10 10-11 12-13 12-17 13-14 14-15 15-16 16-17
isolated ring systems :
containing 1 : 6 : 12 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 26:CLASS

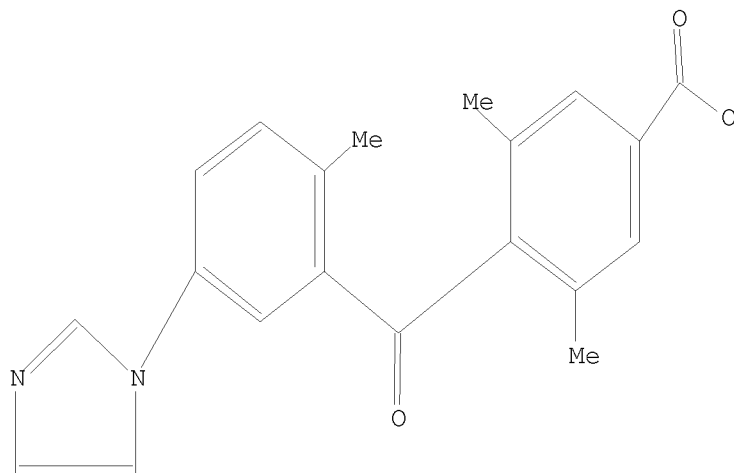
10589952

L13 STRUCTURE UPLOADED

=> D L13

L13 HAS NO ANSWERS

L13 STR



Structure attributes must be viewed using STN Express query preparation.

=> S L13

SAMPLE SEARCH INITIATED 14:59:03 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 9 TO ITERATE

100.0% PROCESSED 9 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 9 TO 360

PROJECTED ANSWERS: 1 TO 80

L14 1 SEA SSS SAM L13

=> S L13 SSS FULL

FULL SEARCH INITIATED 14:59:09 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 191 TO ITERATE

100.0% PROCESSED 191 ITERATIONS

4 ANSWERS

SEARCH TIME: 00.00.01

L15 4 SEA SSS FUL L13

=> FIL HCAPLUS

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

178.36

381.14

10589952

FILE 'HCAPLUS' ENTERED AT 14:59:13 ON 04 MAR 2008
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FILE COVERS 1907 - 4 Mar 2008 VOL 148 ISS 10
FILE LAST UPDATED: 3 Mar 2008 (20080303/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> S L15
L16          6 L15

=> S L16 AND ZINC
      657209 ZINC
      141 ZINCS
      657235 ZINC
              (ZINC OR ZINCS)
L17          1 L16 AND ZINC

=> S L16 AND SILANE
      90905 SILANE
      35729 SILANES
      106073 SILANE
              (SILANE OR SILANES)
L18          1 L16 AND SILANE

=> S L16 AND PY<=2004
      25081867 PY<=2004
L19          5 L16 AND PY<=2004

=> D HIS
```

(FILE 'HOME' ENTERED AT 14:52:13 ON 04 MAR 2008)

FILE 'REGISTRY' ENTERED AT 14:52:34 ON 04 MAR 2008

```
L1          STRUCTURE UPLOADED
L2          0 S L1
L3          18 S L1 SSS FULL
```

FILE 'HCAPLUS' ENTERED AT 14:53:04 ON 04 MAR 2008

```
L4          41 S L3
L5          1 S L4 AND SILANE AGENT
```

10589952

L6 0 S L4 AND POLYMETHYLHYDROSILOXANE
L7 0 S L4 AND METHYLHYDROSILOXANE
L8 0 S L4 AND HYDROSILOXANE
L9 1 S L4 AND ZINC COMPOUND
L10 3 S L4 AND PROCESS
L11 1 S L10 AND ZINC
L12 1 S L10 AND SILANE

FILE 'REGISTRY' ENTERED AT 14:58:29 ON 04 MAR 2008

L13 STRUCTURE UPLOADED
L14 1 S L13
L15 4 S L13 SSS FULL

FILE 'HCAPLUS' ENTERED AT 14:59:13 ON 04 MAR 2008

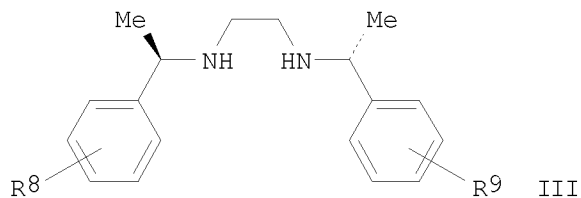
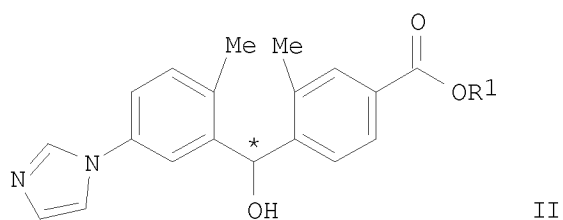
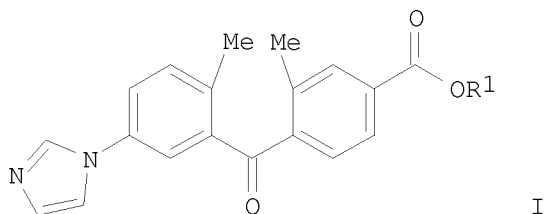
L16 6 S L15
L17 1 S L16 AND ZINC
L18 1 S L16 AND SILANE
L19 5 S L16 AND PY<=2004

=> d l9 ibib abs hitstr tot

L9 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:979620 HCAPLUS
DOCUMENT NUMBER: 143:266923
TITLE: Method of asymmetrically reducing 4-[5-(imidazol-1-yl)-
2-methylbenzoyl]-3,5-dimethylbenzoic acid or ester
thereof
INVENTOR(S): Ushio, Hiroyuki
PATENT ASSIGNEE(S): Mitsubishi Pharma Corporation, Japan
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005082861	A1	20050909	WO 2005-JP3107	20050225
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2556075	A1	20050909	CA 2005-2556075	20050225
EP 1719764	A1	20061108	EP 2005-719508	20050225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
CN 1922153	A	20070228	CN 2005-80005852	20050225
US 2007161803	A1	20070712	US 2006-589952	20060925
PRIORITY APPLN. INFO.:			JP 2004-54928	A 20040227

OTHER SOURCE(S): MARPAT 143:266923
GI

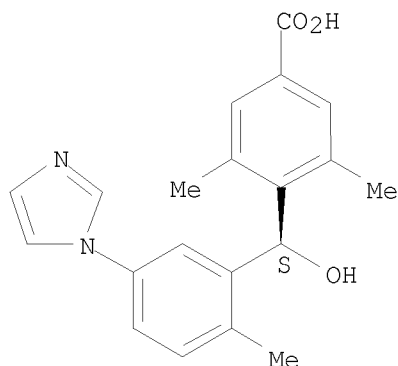


AB A process for producing an optically active 4-[hydroxy[5-(imidazol-1-yl)-2-methylphenyl]methyl]-3,5-dimethylbenzoic acid or an ester thereof (I) (CO2R1 = CO2H or its ester; * denotes an asym. carbon atom) is characterized by reacting 4-[5-(imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethylbenzoic acid or an ester thereof (II) (CO2R1 = same as above) with a silane agent in the presence of a specific zinc compd . and an optically active diamine compound, in particular N,N'-bis((1R)-1-phenylethyl)ethane-1,2-diamine (III) [R8, R9 = H, halo, lower alkyl, lower alkoxy, NO2, cyano, (un)substituted aryl]. Thus, diethylzinc (1 mol/L, 1 mL) was added to a solution of 0.27 mg N,N'-bis[(1R)-1-phenylethyl]ethane-1,2-diamine and 0.06 g ethylene glycol in 2 mL THF containing 10 mg mol. sieve MS3A under ice-cooling, stirred for 10 min and treated with a solution of 0.35 g 4-[5-(imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethylbenzoic acid Me ester in 5 mL THF. The resulting mixture was stirred for 20 min under ice-cooling , treated with a 0.39 g polymethylhydrosilane in 2 mL THF, warmed t room temperature, stirred for 6 h, treated with 4 mL 1 M NaOH aqueous solution, stirred for 1 h, treated with 18 mL ethanol, stirred at 78° for 3 h, cooled, and filtered through celite. The filtrate was adjusted to pH 5.0 by adding 1 M aqueous HCl solution and the precipitated crystals were filtered to give 0.24 g (S)-4-[hydroxy[5-(imidazol-1-yl)-2-methylphenyl]methyl]-3,5-dimethylbenzoic acid (70% yield, 84.2% ee).

10589952

IT 122331-77-5P, (S)-4-[Hydroxy[5-(imidazol-1-yl)-2-methylphenyl]methyl]-3,5-dimethylbenzoic acid
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of optically active [hydroxy[(imidazolyl)methylphenyl]methyl]dimethylbenzoic acid or ester by asym. reduction of [(imidazolyl)methylbenzoyl]dimethylbenzoic acid or ester with silane, zinc compound, and chiral diamine)
RN 122331-77-5 HCAPLUS
CN Benzoic acid, 4-[(S)-hydroxy[5-(1H-imidazol-1-yl)-2-methylphenyl]methyl]-3,5-dimethyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l10 ibib abs hitstr tot

L10 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:979620 HCAPLUS
DOCUMENT NUMBER: 143:266923
TITLE: Method of asymmetrically reducing 4-[5-(imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethylbenzoic acid or ester thereof
INVENTOR(S): Ushio, Hiroyuki
PATENT ASSIGNEE(S): Mitsubishi Pharma Corporation, Japan
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005082861	A1	20050909	WO 2005-JP3107	20050225
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,				

SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
 EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
 RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
 MR, NE, SN, TD, TG

CA 2556075 A1 20050909 CA 2005-2556075 20050225
 EP 1719764 A1 20061108 EP 2005-719508 20050225

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS

CN 1922153 A 20070228 CN 2005-80005852 20050225

US 2007161803 A1 20070712 US 2006-589952 20060925

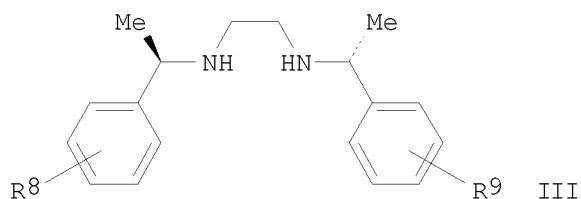
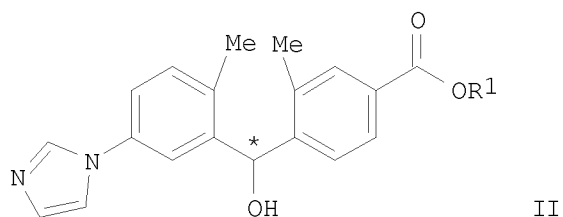
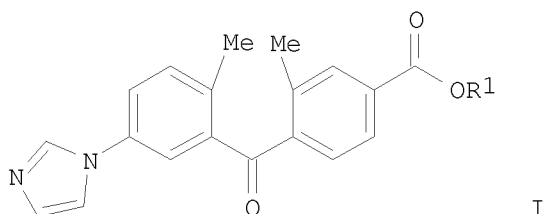
PRIORITY APPLN. INFO.:

JP 2004-54928 A 20040227

WO 2005-JP3107 W 20050225

OTHER SOURCE(S): MARPAT 143:266923

GI



AB A process for producing an optically active 4-[hydroxy[5-(imidazol-1-yl)-2-methylphenyl]methyl]-3,5-dimethylbenzoic acid or an ester thereof (I) (CO₂R₁ = CO₂H or its ester; * denotes an asym. carbon atom) is characterized by reacting 4-[5-(imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethylbenzoic acid or an ester thereof (II) (CO₂R₁ = same as above) with a silane agent in the presence of a specific zinc compound and an optically active diamine compound, in particular N,N'-bis((1R)-1-phenylethyl)ethane-1,2-diamine (III) [R₈, R₉ = H, halo, lower alkyl, lower alkoxy, NO₂, cyano, (un)substituted aryl]. Thus, diethylzinc (1 mol/L, 1 mL) was added to a solution of 0.27 mg N,N'-bis[(1R)-1-phenylethyl]ethane-1,2-

diamine and 0.06 g ethylene glycol in 2 mL THF containing 10 mg mol. sieve MS3A under ice-cooling, stirred for 10 min and treated with a solution of 0.35 g 4-[5-(imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethylbenzoic acid Me ester in 5 mL THF. The resulting mixture was stirred for 20 min under ice-cooling, treated with a 0.39 g polymethylhydrosilane in 2 mL THF, warmed to room temperature, stirred for 6 h, treated with 4 mL 1 M NaOH aqueous solution, stirred for 1 h, treated with 18 mL ethanol, stirred at 78° for 3 h, cooled, and filtered through celite. The filtrate was adjusted to pH 5.0 by adding 1 M aqueous HCl solution and the precipitated crystals were filtered to give 0.24 g (S)-4-[hydroxy[5-(imidazol-1-yl)-2-methylphenyl]methyl]-3,5-dimethylbenzoic acid (70% yield, 84.2% ee).

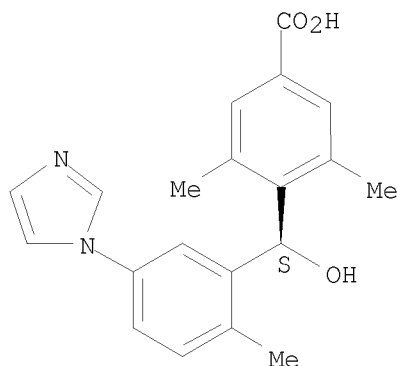
IT 122331-77-5P, (S)-4-[Hydroxy[5-(imidazol-1-yl)-2-methylphenyl]methyl]-3,5-dimethylbenzoic acid

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of optically active [hydroxy[(imidazolyl)methylphenyl]methyl]dimethylbenzoic acid or ester by asym. reduction of [(imidazolyl)methylbenzoyl]dimethylbenzoic acid or ester with silane, zinc compound, and chiral diamine)

RN 122331-77-5 HCAPLUS

CN Benzoic acid, 4-[(S)-hydroxy[5-(1H-imidazol-1-yl)-2-methylphenyl]methyl]-3,5-dimethyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:527320 HCAPLUS

DOCUMENT NUMBER: 129:148981

TITLE: Process for producing optically active imidazole derivatives and intermediates therefor

INVENTOR(S): Kawasaki, Kazuyuki; Kobayashi, Haruhito; Ehara, Syuji; Sato, Hideaki

PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 34 pp.
 CODEN: PIXXD2

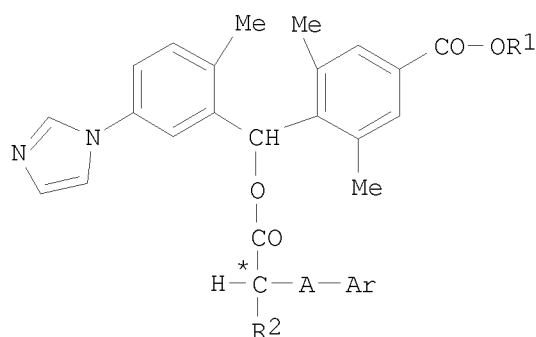
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9832740	A1	19980730	WO 1998-JP150	19980114
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
JP 2000290259	A	20001017	JP 1997-10114	19970123
CA 2278509	A1	19980730	CA 1998-2278509	19980114
CA 2278509	C	20070320		
AU 9854966	A	19980818	AU 1998-54966	19980114
EP 972768	A1	20000119	EP 1998-900397	19980114
EP 972768	B1	20031015		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 252085	T	20031115	AT 1998-900397	19980114
CN 1133623	B	20040107	CN 1998-803523	19980114
EP 1378507	A2	20040107	EP 2003-22830	19980114
EP 1378507	A3	20040204		
EP 1378507	B1	20060823		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, AL				
ES 2209104	T3	20040616	ES 1998-900397	19980114
AT 337306	T	20060915	AT 2003-22830	19980114
US 6066739	A	20000523	US 1999-355096	19990723
US 6166221	A	20001226	US 2000-511782	20000223
PRIORITY APPLN. INFO.:			JP 1997-10114	A 19970123
			EP 1998-900397	A3 19980114
			WO 1998-JP150	W 19980114
OTHER SOURCE(S):			CASREACT 129:148981; MARPAT 129:148981	
GI				



AB A process for producing optically active 4-[α -hydroxy-5-(1-imidazolyl)-2-methylbenzyl]-3,5-dimethylbenzoic acid or pharmacol. acceptable salts thereof comprises optically resolving compds. represented by general formula I [R1 = alkyl, etc.; R2 = alkyl, phenylalkyl; Ar =

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(un)substituted Ph, etc.; A = bond, NHSO₂; * indicates the (S) or (R) configuration] by fractional crystallization to give the optically active isomer

thereof and then hydrolyzing the isomer. This process can provide a resolution method useful for industrially mass-producing the optically active isomer of 4-[α -hydroxy-5-(1-imidazolyl)-2-methylbenzyl]-3,5-dimethylbenzoic acid which is useful as a thromboxane synthesis inhibitor and a preventive/remedy for diabetic complications.

IT 122331-76-4P 122331-77-5P 210779-41-2P

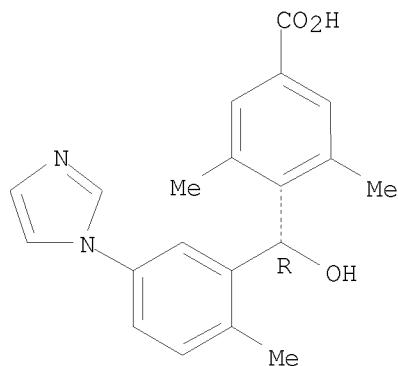
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(process for producing optically active imidazole derivs. and intermediates therefor)

RN 122331-76-4 HCAPLUS

CN Benzoic acid, 4-[(R)-hydroxy[5-(1H-imidazol-1-yl)-2-methylphenyl]methyl]-3,5-dimethyl- (CA INDEX NAME)

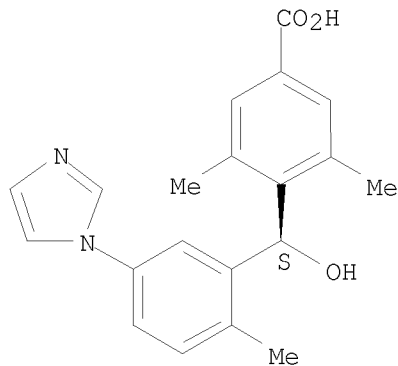
Absolute stereochemistry. Rotation (+).



RN 122331-77-5 HCAPLUS

CN Benzoic acid, 4-[(S)-hydroxy[5-(1H-imidazol-1-yl)-2-methylphenyl]methyl]-3,5-dimethyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

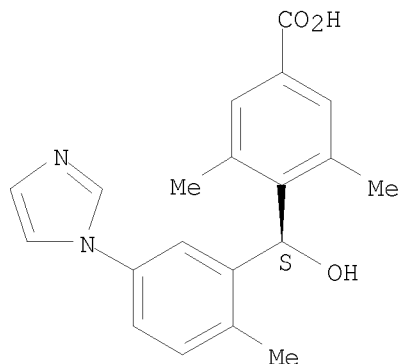


RN 210779-41-2 HCAPLUS

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CN Benzoic acid, 4-[(S)-hydroxy[5-(1H-imidazol-1-yl)-2-methylphenyl]methyl]-3,5-dimethyl-, calcium salt (1:1) (CA INDEX NAME)

Absolute stereochemistry.

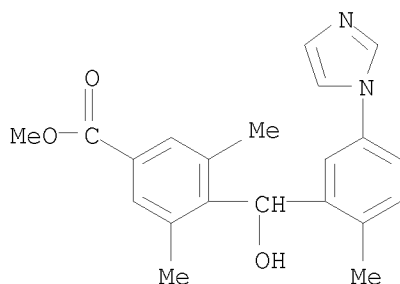


IT 108044-85-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(process for producing optically active imidazole derivs. and intermediates therefor)

RN 108044-85-5 HCAPLUS

CN Benzoic acid, 4-[hydroxy[5-(1H-imidazol-1-yl)-2-methylphenyl]methyl]-3,5-dimethyl-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:584601 HCAPLUS

DOCUMENT NUMBER: 117:184601

TITLE: Arterial thrombosis model with photochemical reaction in guinea pig and its property

AUTHOR(S): Takiguchi, Y.; Hirata, Y.; Wada, K.; Nakashima, M.

CORPORATE SOURCE: Sch. Med., Hamamatsu Univ., Hamamatsu, 431-31, Japan

SOURCE: Thrombosis Research (1992), 67(4), 435-45

CODEN: THBRAA; ISSN: 0049-3848

DOCUMENT TYPE: Journal
LANGUAGE: English

AB The authors have already developed an arterial thrombosis model in the rat femoral artery which utilizes a photochem. reaction between systemically injected rose bengal and transillumination with 540 nm green light from the outside of the vessel. The present study applied this model to guinea-pigs in order to produce a more suitable thrombus model for evaluation of antithrombotic drugs which act on the prostaglandin cascade. In the guinea-pig, the irradiated femoral artery was completely occluded 7 min after the injection of rose bengal (10 mg/kg) in a similar manner as in rats. The processes of primary endothelial injury and the subsequent formation of thrombi during this manipulation were observed by the electron microscopy. Pretreatment with aspirin and Y-20811, a thromboxane synthetase inhibitor, significantly prolonged the time required for occlusion in guinea-pigs, while these drugs were ineffective in the rats. The antithrombotic effect of vapiprost, a thromboxane A2 receptor antagonist, was more pronounced in the guinea-pigs than the rats. In conclusion, this model in guinea-pigs is more suitable for evaluating antithrombotic drugs, particularly, the action of which is exerted involving the prostaglandin cascade.

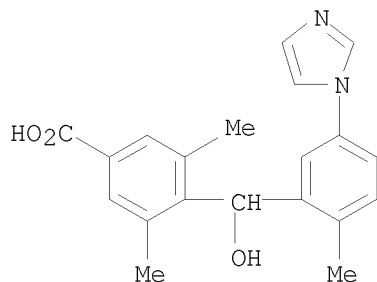
IT 104363-98-6, Y-20811

RL: PRP (Properties)

(antithrombotic effect of, in arterial thrombosis induced photochem. in guinea pig model)

RN 104363-98-6 HCAPLUS

CN Benzoic acid, 4-[hydroxy[5-(1H-imidazol-1-yl)-2-methylphenyl]methyl]-3,5-dimethyl-, sodium salt (1:1) (CA INDEX NAME)



● Na

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L11 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:979620 HCAPLUS

DOCUMENT NUMBER: 143:266923

TITLE: Method of asymmetrically reducing 4-[5-(imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethylbenzoic acid or ester thereof

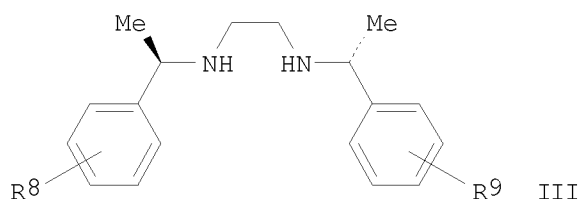
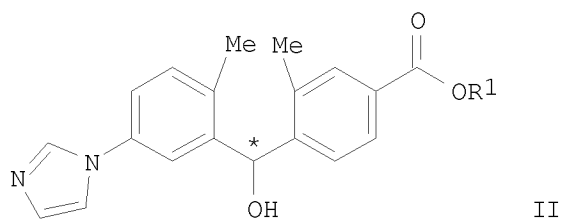
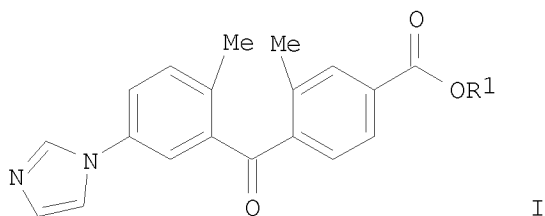
INVENTOR(S): Ushio, Hiroyuki

PATENT ASSIGNEE(S): Mitsubishi Pharma Corporation, Japan

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SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005082861	A1	20050909	WO 2005-JP3107	20050225
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2556075	A1	20050909	CA 2005-2556075	20050225
EP 1719764	A1	20061108	EP 2005-719508	20050225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
CN 1922153	A	20070228	CN 2005-80005852	20050225
US 2007161803	A1	20070712	US 2006-589952	20060925
PRIORITY APPLN. INFO.:			JP 2004-54928	A 20040227
			WO 2005-JP3107	W 20050225
OTHER SOURCE(S):		MARPAT 143:266923		
GI				

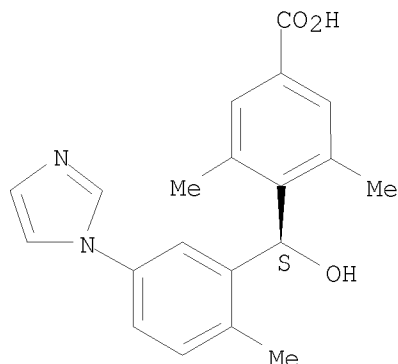


- AB A process for producing an optically active 4-[hydroxy[5-(imidazol-1-yl)-2-methylphenyl]methyl]-3,5-dimethylbenzoic acid or an ester thereof (I) (CO₂R₁ = CO₂H or its ester; * denotes an asym. carbon atom) is characterized by reacting 4-[5-(imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethylbenzoic acid or an ester thereof (II) (CO₂R₁ = same as above) with a silane agent in the presence of a specific zinc compound and an optically active diamine compound, in particular N,N'-bis((1R)-1-phenylethyl)ethane-1,2-diamine (III) [R₈, R₉ = H, halo, lower alkyl, lower alkoxy, NO₂, cyano, (un)substituted aryl]. Thus, diethylzinc (1 mol/L, 1 mL) was added to a solution of 0.27 mg N,N'-bis[(1R)-1-phenylethyl]ethane-1,2-diamine and 0.06 g ethylene glycol in 2 mL THF containing 10 mg mol. sieve MS3A under ice-cooling, stirred for 10 min and treated with a solution of 0.35 g 4-[5-(imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethylbenzoic acid Me ester in 5 mL THF. The resulting mixture was stirred for 20 min under ice-cooling, treated with a 0.39 g polymethylhydrosilane in 2 mL THF, warmed to room temperature, stirred for 6 h, treated with 4 mL 1 M NaOH aqueous solution, stirred for 1 h, treated with 18 mL ethanol, stirred at 78° for 3 h, cooled, and filtered through celite. The filtrate was adjusted to pH 5.0 by adding 1 M aqueous HCl solution and the precipitated crystals were filtered to give 0.24 g (S)-4-[hydroxy[5-(imidazol-1-yl)-2-methylphenyl]methyl]-3,5-dimethylbenzoic acid (70% yield, 84.2% ee).
- IT 122331-77-5P, (S)-4-[Hydroxy[5-(imidazol-1-yl)-2-methylphenyl]methyl]-3,5-dimethylbenzoic acid
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of optically active [hydroxy[(imidazolyl)methylphenyl]methyl]dimethylbenzoic acid or ester by asym. reduction of [(imidazolyl)methylbenzoyl]dimethylbenzoic acid or ester with silane, zinc compound, and chiral diamine)

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RN 122331-77-5 HCAPLUS
CN Benzoic acid, 4-[(S)-hydroxy[5-(1H-imidazol-1-yl)-2-methylphenyl]methyl]-
3,5-dimethyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



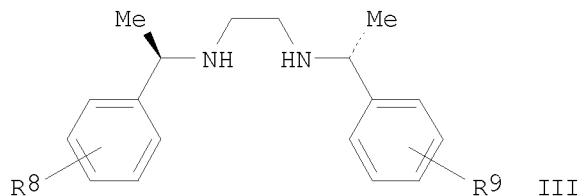
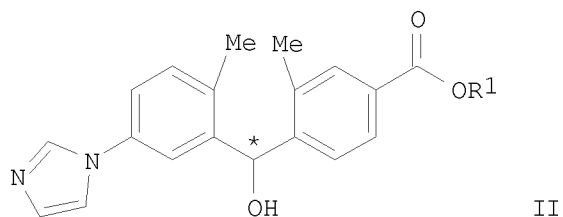
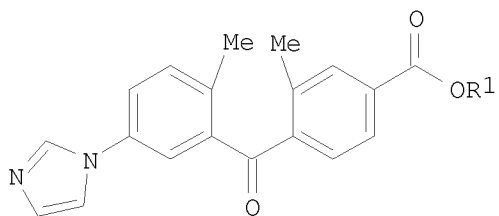
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l12 ibib abs hitstr tot

L12 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:979620 HCAPLUS
DOCUMENT NUMBER: 143:266923
TITLE: Method of asymmetrically reducing 4-[5-(imidazol-1-yl)-
2-methylbenzoyl]-3,5-dimethylbenzoic acid or ester
thereof
INVENTOR(S): Ushio, Hiroyuki
PATENT ASSIGNEE(S): Mitsubishi Pharma Corporation, Japan
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005082861	A1	20050909	WO 2005-JP3107	20050225
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2556075	A1	20050909	CA 2005-2556075	20050225

EP 1719764 A1 20061108 EP 2005-719508 20050225
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS
 CN 1922153 A 20070228 CN 2005-80005852 20050225
 US 2007161803 A1 20070712 US 2006-589952 20060925
 PRIORITY APPLN. INFO.: JP 2004-54928 A 20040227
 WO 2005-JP3107 W 20050225
 OTHER SOURCE(S): MARPAT 143:266923
 GI



AB A process for producing an optically active 4-[hydroxy[5-(imidazol-1-yl)-2-methylphenyl]methyl]-3,5-dimethylbenzoic acid or an ester thereof (I) (CO₂R₁ = CO₂H or its ester; * denotes an asym. carbon atom) is characterized by reacting 4-[5-(imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethylbenzoic acid or an ester thereof (II) (CO₂R₁ = same as above) with a silane agent in the presence of a specific zinc compound and an optically active diamine compound, in particular N,N'-bis((1R)-1-phenylethyl)ethane-1,2-diamine (III) [R₈, R₉ = H, halo, lower alkyl, lower alkoxy, NO₂, cyano, (un)substituted aryl]. Thus, diethylzinc (1 mol/L, 1 mL) was added to a solution of 0.27 mg N,N'-bis[(1R)-1-phenylethyl]ethane-1,2-diamine and 0.06 g ethylene glycol in 2 mL THF containing 10 mg mol. sieve MS3A under ice-cooling, stirred for 10 min and treated with a solution of 0.35 g 4-[5-(imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethylbenzoic acid Me ester in 5 mL THF. The resulting mixture was stirred for 20 min under ice-cooling, treated with a 0.39 g polymethylhydrosilane in 2 mL THF, warmed to room temperature, stirred for 6 h, treated with 4 mL 1 M NaOH aqueous solution, stirred for 1 h, treated with 18 mL ethanol, stirred at 78°

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for 3 h, cooled, and filtered through celite. The filtrate was adjusted to pH 5.0 by adding 1 M aqueous HCl solution and the precipitated crystals were filtered to give 0.24 g (S)-4-[hydroxy[5-(imidazol-1-yl)-2-methylphenyl]methyl]-3,5-dimethylbenzoic acid (70% yield, 84.2% ee).

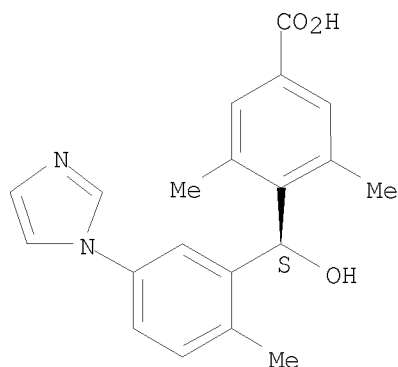
IT 122331-77-5P, (S)-4-[Hydroxy[5-(imidazol-1-yl)-2-methylphenyl]methyl]-3,5-dimethylbenzoic acid

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of optically active [hydroxy[(imidazolyl)methylphenyl]methyl]dimethylbenzoic acid or ester by asym. reduction of [(imidazolyl)methylbenzoyl]dimethylbenzoic acid or ester with silane, zinc compound, and chiral diamine)

RN 122331-77-5 HCAPLUS

CN Benzoic acid, 4-[(S)-hydroxy[5-(1H-imidazol-1-yl)-2-methylphenyl]methyl]-3,5-dimethyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l16 ibib abs hitstr tot

L16 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:979620 HCAPLUS

DOCUMENT NUMBER: 143:266923

TITLE: Method of asymmetrically reducing 4-[5-(imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethylbenzoic acid or ester thereof

INVENTOR(S): Ushio, Hiroyuki

PATENT ASSIGNEE(S): Mitsubishi Pharma Corporation, Japan

SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005082861	A1	20050909	WO 2005-JP3107	20050225

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2556075 A1 20050909 CA 2005-2556075 20050225
 EP 1719764 A1 20061108 EP 2005-719508 20050225

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS

CN 1922153 A 20070228 CN 2005-80005852 20050225

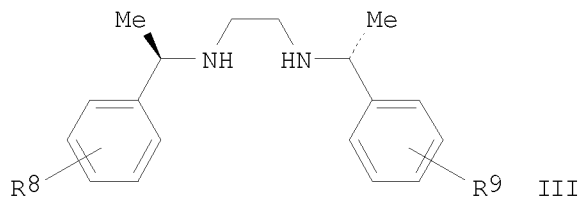
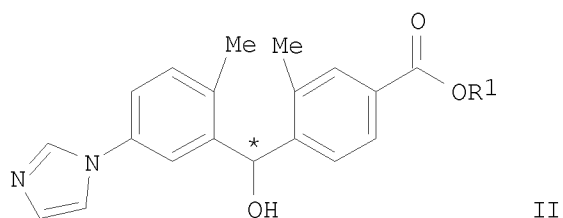
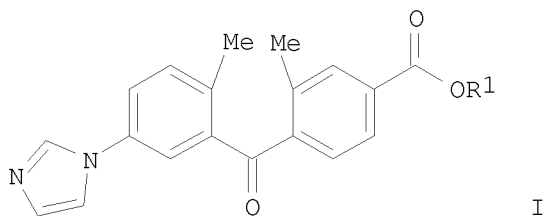
US 2007161803 A1 20070712 US 2006-589952 20060925

PRIORITY APPLN. INFO.:

JP 2004-54928 A 20040227
 WO 2005-JP3107 W 20050225

OTHER SOURCE(S): MARPAT 143:266923

GI

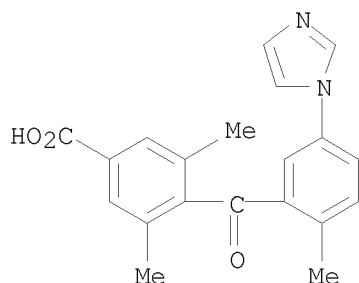


AB A process for producing an optically active 4-[hydroxy[5-(imidazol-1-yl)-2-methylphenyl]methyl]-3,5-dimethylbenzoic acid or an ester thereof (I) (CO2R1 = CO2H or its ester; * denotes an asym. carbon atom) is characterized by reacting 4-[5-(imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethylbenzoic acid or an ester thereof (II) (CO2R1 = same as above) with

a silane agent in the presence of a specific zinc compound and an optically active diamine compound, in particular N,N'-bis((1R)-1-phenylethyl)ethane-1,2-diamine (III) [R8, R9 = H, halo, lower alkyl, lower alkoxy, NO2, cyano, (un)substituted aryl]. Thus, diethylzinc (1 mol/L, 1 mL) was added to a solution of 0.27 mg N,N'-bis[(1R)-1-phenylethyl]ethane-1,2-diamine and 0.06 g ethylene glycol in 2 mL THF containing 10 mg mol. sieve MS3A under ice-cooling, stirred for 10 min and treated with a solution of 0.35 g 4-[5-(imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethylbenzoic acid Me ester in 5 mL THF. The resulting mixture was stirred for 20 min under ice-cooling, treated with a 0.39 g polymethylhydrosilane in 2 mL THF, warmed to room temperature, stirred for 6 h, treated with 4 mL 1 M NaOH aqueous solution, stirred for 1 h, treated with 18 mL ethanol, stirred at 78° for 3 h, cooled, and filtered through celite. The filtrate was adjusted to pH 5.0 by adding 1 M aqueous HCl solution and the precipitated crystals were filtered to give 0.24 g (S)-4-[hydroxy[5-(imidazol-1-yl)-2-methylphenyl]methyl]-3,5-dimethylbenzoic acid (70% yield, 84.2% ee).

IT 107433-18-1, 4-[5-(Imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethylbenzoic acid
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of optically active [hydroxy[(imidazolyl)methylphenyl]methyl]dimethylbenzoic acid or ester by asym. reduction of [(imidazolyl)methylbenzoyl]dimethylbenzoic acid or ester with silane, zinc compound, and chiral diamine)

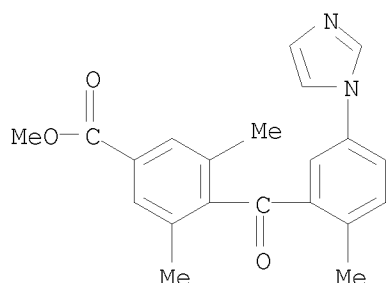
RN 107433-18-1 HCAPLUS
 CN Benzoic acid, 4-[5-(1H-imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethyl- (CA INDEX NAME)



IT 863782-77-8P, 4-[5-(Imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethylbenzoic acid methyl ester 863782-78-9P, 4-[5-(Imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethylbenzoic acid isopropyl ester
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of optically active [hydroxy[(imidazolyl)methylphenyl]methyl]dimethylbenzoic acid or ester by asym. reduction of [(imidazolyl)methylbenzoyl]dimethylbenzoic acid or ester with silane, zinc compound, and chiral diamine)

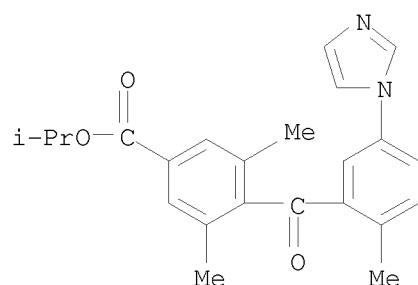
RN 863782-77-8 HCAPLUS
 CN Benzoic acid, 4-[5-(1H-imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethyl-, methyl ester (CA INDEX NAME)

10589952



RN 863782-78-9 HCAPLUS

CN Benzoic acid, 4-[5-(1H-imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethyl-,
1-methylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1989:534040 HCAPLUS

DOCUMENT NUMBER: 111:134040

TITLE: Thromboxane synthetase inhibitors. I. Synthesis of
some imidazolylarylmethanols and their inhibitory
activity on platelet aggregation

AUTHOR(S): Tsuruda, Mineo; Mikashima, Hiroshi; Oe, Takanori;
Kawasaki, Kazuyuki; Setoguchi, Shinro; Naka, Yoichi;
Tahara, Tetsuya

CORPORATE SOURCE: Res. Lab., Yoshitomi Pharm. Ind., Ltd., Yoshitomi,
871, Japan

SOURCE: Yakugaku Zasshi (1989), 109(1), 33-45

CODEN: YKKZAJ; ISSN: 0031-6903

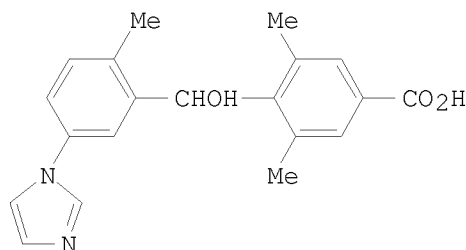
DOCUMENT TYPE: Journal

LANGUAGE: Japanese

OTHER SOURCE(S): CASREACT 111:134040

GI

10589952



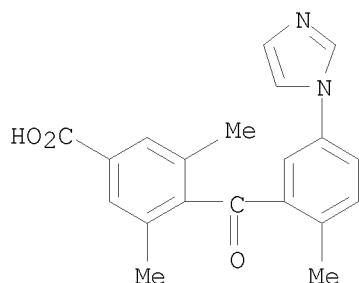
AB Several imidazolyipyridinemethanols and imidazolybenzenemethanols were prepared and evaluated for inhibitory activity against arachidonic acid-induced platelet aggregation. The result shows that the arylmethanol moiety is essential for the activity and may correspond to the 15-OH group of prostaglandin H2. Among the compds. tested, imidazolybenzyl alc. derivative I was found to have a potent inhibitory activity and a long duration of action. Structure-activity relationships are also discussed briefly.

IT 107433-18-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of, alc. by)

RN 107433-18-1 HCAPLUS

CN Benzoic acid, 4-[5-(1H-imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethyl- (CA INDEX NAME)



L16 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1989:18546 HCAPLUS

DOCUMENT NUMBER: 110:18546

TITLE: Imidazole derivatives as immunostimulants

INVENTOR(S): Kudome, Masao; Mikashima, Hiroshi; Tsuruta, Mineo

PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

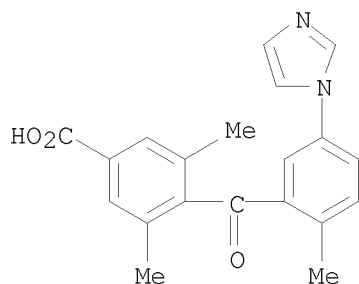
KIND

DATE

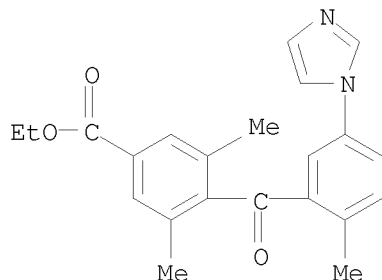
APPLICATION NO.

DATE

 JP 63119425 A 19880524 JP 1986-266455 19861107
 PRIORITY APPLN. INFO.: JP 1986-266455 19861107
 OTHER SOURCE(S): MARPAT 110:18546
 GI For diagram(s), see printed CA Issue.
 AB The title compds. I [R1 = H, lower alkyl; R2 = H, halo, lower alkyl, alkoxy, etc.; ring A = benzene ring, pyridine ring, furan ring, thiophene ring; XY = :O, or one of X and Y is H, lower alkyl, the other is OH; Z = alkyl, cycloalkyl, aryl, heteroaryl] are tested for immunostimulating activities. In a test using mice dosed with sheep erythrocytes and cyclophosphamide, Na 4-[α -hydroxy-2-methyl-5-(1-imidazolyl)benzyl]-3,5-dimethylbenzoate.2H₂O caused an immunorestorative response of 359% (control = 100%).
 IT 107433-18-1 108440-75-1
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (immunostimulating activity of)
 RN 107433-18-1 HCAPLUS
 CN Benzoic acid, 4-[5-(1H-imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethyl- (CA INDEX NAME)



RN 108440-75-1 HCAPLUS
 CN Benzoic acid, 4-[5-(1H-imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethyl-, ethyl ester (CA INDEX NAME)

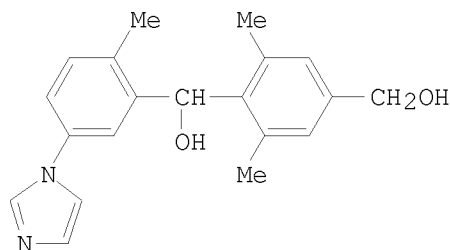
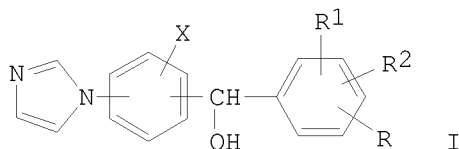


L16 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1987:515589 HCAPLUS
 DOCUMENT NUMBER: 107:115589
 TITLE: 5-(Imidazol-1-yl)- α -(2,6-dimethylphenyl)benzenemethanol derivatives as drugs

10589952

INVENTOR(S): Tsuruta, Mineo; Ooe, Takanori; Kawasaki, Kazuyuki;
Mikashima, Hiroshi; Yasuda, Hiroshi
PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62000470	A	19870106	JP 1985-139952	19850625
JP 05074589	B	19931018		
PRIORITY APPLN. INFO.:			JP 1985-139952	19850625
OTHER SOURCE(S):		CASREACT 107:115589		
GI				

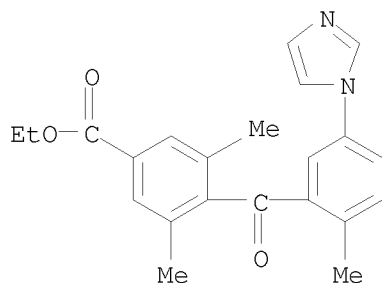


AB The title compds. [I; R = CHO, CHR3R4 [R3 = H, alkyl; R4 = OH, alkoxy, acyloxy, (unsubstituted PhO), ZNR5R6 (Z = CO, CH2, alkylmethylene; R5, R6 = H, acyl, hydroxyalkyl, carboxyalkyl, 1-substituted piperidyl or NR5R6 = heterocyclyl); R1R2 = H, alkyl; X = H, halo, alkyl, alkoxy], useful as inhibitors of thromboxane A2 synthesis and blood platelet aggregation (no data), were prepared A mixture of 5-iodo-2,2',6'-trimethyl-4'-(ethoxycarbonyl)benzophenone and imidazole containing K2CO3, Cu powder, and KF was heated at 140° for 4 h to give 5-(imidazol-1-yl)-2,2',6'-trimethyl-4'-(ethoxycarbonyl)benzophenone, which was reduced by LiAlH4 to give an α -phenylbenzyl alc. derivative II.

IT 108440-75-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and lithium aluminum hydride reduction of)

RN 108440-75-1 HCAPLUS

CN Benzoic acid, 4-[5-(1H-imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethyl-, ethyl ester (CA INDEX NAME)



L16 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1987:213946 HCAPLUS

DOCUMENT NUMBER: 106:213946

TITLE: 4-(α -Hydroxy-3-imidazol-1-ylbenzyl)benzoates as blood platelet aggregation inhibitors

INVENTOR(S): Tsuruta, Mineo; Oe, Takanori; Kawasaki, Kazuyuki; Mikashima, Hiroshi; Yasuda, Hiroshi

PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

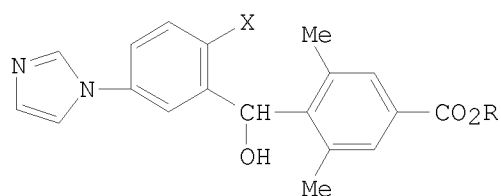
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61282366	A	19861212	JP 1985-124617	19850607
JP 05029031	B	19930428		
PRIORITY APPLN. INFO.:			JP 1985-124617	19850607
OTHER SOURCE(S):		CASREACT 106:213946		

GI



I

AB The title compds. (I; X = halo, alkyl, alkoxy; R = H, alkyl; when X = alkyl, R = alkyl) and their pharmaceutically acceptable salts and/or hydrates, inhibiting blood platelet aggregation and biosynthesis of thromboxane A₂, thereby useful for prophylaxis and treatment of asthma, heart ailments, high blood pressure, nephritis, etc. (no data), were prepared. Thus, a mixture of 4-(α -hydroxy-2-chloro-5-iodobenzyl)-3,5-dimethylbenzoic acid, imidazole, K₂CO₃, KF and Cu powder in DMF was heated at 135-140° for 30 h to give I (X = Cl, R = H).

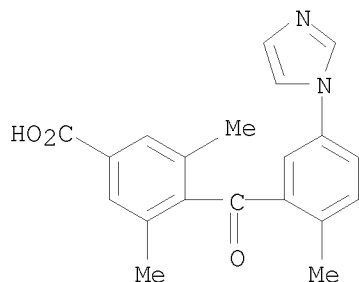
IT 107433-18-1P

10589952

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and hydride reduction of)

RN 107433-18-1 HCAPLUS

CN Benzoic acid, 4-[5-(1H-imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethyl- (CA
INDEX NAME)



L16 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1987:138446 HCAPLUS

DOCUMENT NUMBER: 106:138446

TITLE: 4-[α -Hydroxy-2-methyl-5-(imidazol-1-yl)benzyl]-
3,5-dimethylbenzoic acid

INVENTOR(S): Tsuruta, Mineo; Oe, Takanori; Kawasaki, Kazuyuki;
Mikashima, Hiroshi; Yasuda, Hiroshi

PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

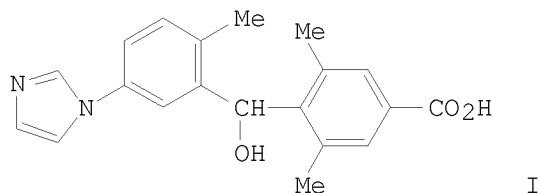
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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JP 61277670	A	19861208	JP 1985-121220	19850603
JP 05041143	B	19930622		
US 4661603	A	19870428	US 1986-823633	19860129
PRIORITY APPLN. INFO.:			JP 1982-34365	A 19820303
			US 1983-556231	A2 19831031
			JP 1985-121220	A 19850603

OTHER SOURCE(S): CASREACT 106:138446

GI

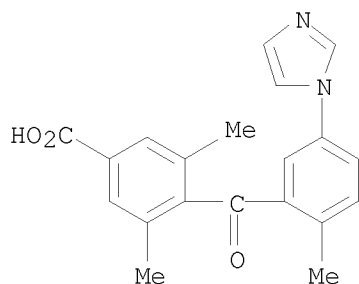


AB The title compound (I), useful as cardiovascular agents, for treatment of asthma, nephritis, and for prevention of cancer metastasis, were prepared Thus, a mixture of 4-(2-methyl-5-iodobenzoyl)-3,5-dimethylbenzoic acid and imidazole in DMF containing K₂CO₃, KF, and Cu powder was heated at 135° for 24 h to give, after treatment with Na₂S·3H₂O and acidification, 4-[2-methyl-5-(imidazol-1-yl)benzoyl]-3,5-dimethylbenzoic acid whose reduction with NaBH₄ in aqueous NaOH at 70-75° for 3 h gave, after acidification, I. This at 2.3 × 10⁻⁸ and >10⁻⁴ M in vitro inhibited by 50% thromboxane synthetase in human blood platelet microsomes and cyclooxygenase in bovine seminal vesicle microsomes resp.

IT 107433-18-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydride reduction of)

RN 107433-18-1 HCAPLUS

CN Benzoic acid, 4-[5-(1H-imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethyl- (CA INDEX NAME)



=> d 117 ibib abs hitstr tot

L17 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:979620 HCAPLUS

DOCUMENT NUMBER: 143:266923

TITLE: Method of asymmetrically reducing 4-[5-(imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethylbenzoic acid or ester thereof

INVENTOR(S): Ushio, Hiroyuki

PATENT ASSIGNEE(S): Mitsubishi Pharma Corporation, Japan

SOURCE: PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005082861	A1	20050909	WO 2005-JP3107	20050225
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,				

10589952

LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

CA 2556075 A1 20050909 CA 2005-2556075 20050225

EP 1719764 A1 20061108 EP 2005-719508 20050225

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS

CN 1922153 A 20070228 CN 2005-80005852 20050225

US 2007161803 A1 20070712 US 2006-589952 20060925

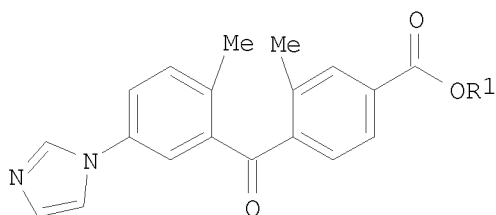
PRIORITY APPLN. INFO.:

JP 2004-54928 A 20040227

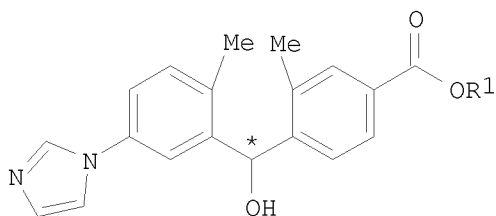
WO 2005-JP3107 W 20050225

OTHER SOURCE(S): MARPAT 143:266923

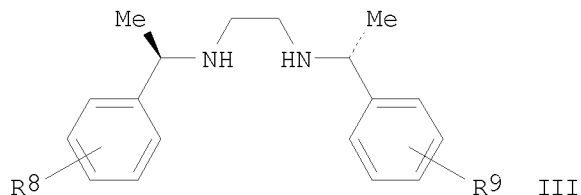
GI



I



II



III

AB A process for producing an optically active 4-[hydroxy[5-(imidazol-1-yl)-2-methylphenyl]methyl]-3,5-dimethylbenzoic acid or an ester thereof (I) (CO₂R₁ = CO₂H or its ester; * denotes an asym. carbon atom) is characterized by reacting 4-[5-(imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethylbenzoic acid or an ester thereof (II) (CO₂R₁ = same as above) with a silane agent in the presence of a specific zinc compound and an optically active diamine compound, in particular N,N'-bis((1R)-1-phenylethyl)ethane-1,2-diamine (III) [R₈, R₉ = H, halo, lower alkyl, lower

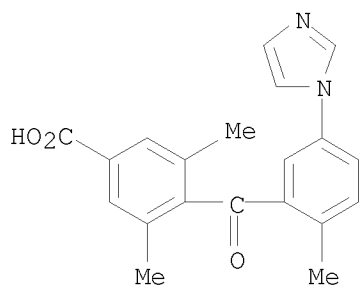
alkoxy, NO₂, cyano, (un)substituted aryl]. Thus, diethylzinc (1 mol/L, 1 mL) was added to a solution of 0.27 mg N,N'-bis[(1R)-1-phenylethyl]ethane-1,2-diamine and 0.06 g ethylene glycol in 2 mL THF containing 10 mg mol. sieve MS3A under ice-cooling, stirred for 10 min and treated with a solution of 0.35 g 4-[5-(imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethylbenzoic acid Me ester in 5 mL THF. The resulting mixture was stirred for 20 min under ice-cooling, treated with a 0.39 g polymethylhydrosilane in 2 mL THF, warmed to room temperature, stirred for 6 h, treated with 4 mL 1 M NaOH aqueous solution, stirred for 1 h, treated with 18 mL ethanol, stirred at 78° for 3 h, cooled, and filtered through celite. The filtrate was adjusted to pH 5.0 by adding 1 M aqueous HCl solution and the precipitated crystals were filtered to give 0.24 g (S)-4-[hydroxy[5-(imidazol-1-yl)-2-methylphenyl]methyl]-3,5-dimethylbenzoic acid (70% yield, 84.2% ee).

IT 107433-18-1, 4-[5-(Imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethylbenzoic acid

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of optically active [hydroxy[(imidazolyl)methylphenyl]methyl]dimethylbenzoic acid or ester by asym. reduction of [(imidazolyl)methylbenzoyl]dimethylbenzoic acid or ester with silane, zinc compound, and chiral diamine)

RN 107433-18-1 HCAPLUS

CN Benzoic acid, 4-[5-(1H-imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethyl- (CA INDEX NAME)



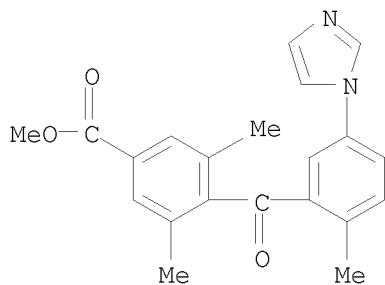
IT 863782-77-8P, 4-[5-(Imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethylbenzoic acid methyl ester 863782-78-9P, 4-[5-(Imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethylbenzoic acid isopropyl ester

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of optically active [hydroxy[(imidazolyl)methylphenyl]methyl]dimethylbenzoic acid or ester by asym. reduction of [(imidazolyl)methylbenzoyl]dimethylbenzoic acid or ester with silane, zinc compound, and chiral diamine)

RN 863782-77-8 HCAPLUS

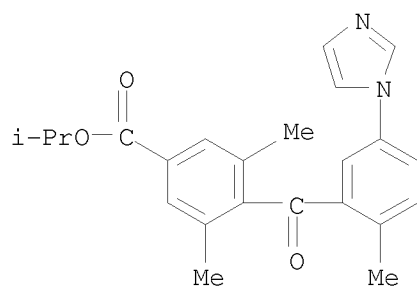
CN Benzoic acid, 4-[5-(1H-imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethyl-, methyl ester (CA INDEX NAME)

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RN 863782-78-9 HCAPLUS

CN Benzoic acid, 4-[5-(1H-imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethyl-,
1-methylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L18 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:979620 HCAPLUS

DOCUMENT NUMBER: 143:266923

TITLE: Method of asymmetrically reducing 4-[5-(imidazol-1-yl)-
2-methylbenzoyl]-3,5-dimethylbenzoic acid or ester
thereof

INVENTOR(S): Ushio, Hiroyuki

PATENT ASSIGNEE(S): Mitsubishi Pharma Corporation, Japan

SOURCE: PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005082861	A1	20050909	WO 2005-JP3107	20050225
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,			

LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
 SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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 MR, NE, SN, TD, TG

CA 2556075 A1 20050909 CA 2005-2556075 20050225

EP 1719764 A1 20061108 EP 2005-719508 20050225

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US 2007161803 A1 20070712 US 2006-589952 20060925

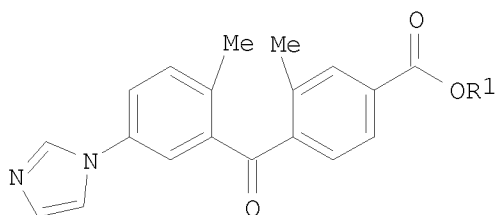
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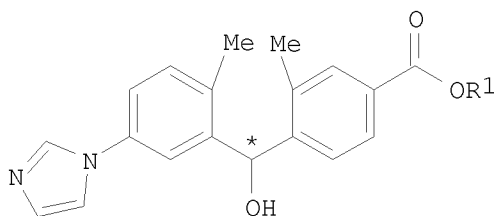
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OTHER SOURCE(S): MARPAT 143:266923

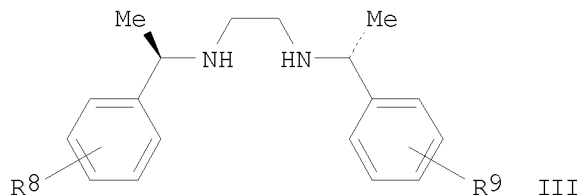
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I



II



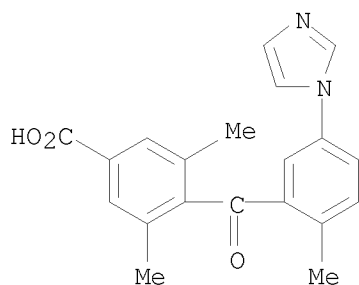
III

AB A process for producing an optically active 4-[hydroxy[5-(imidazol-1-yl)-2-methylphenyl]methyl]-3,5-dimethylbenzoic acid or an ester thereof (I) (CO2R1 = CO2H or its ester; * denotes an asym. carbon atom) is characterized by reacting 4-[5-(imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethylbenzoic acid or an ester thereof (II) (CO2R1 = same as above) with a silane agent in the presence of a specific zinc compound and an optically active diamine compound, in particular N,N'-bis((1R)-1-phenylethyl)ethane-1,2-diamine (III) [R8, R9 = H, halo, lower alkyl, lower

alkoxy, NO₂, cyano, (un)substituted aryl]. Thus, diethylzinc (1 mol/L, 1 mL) was added to a solution of 0.27 mg N,N'-bis[(1R)-1-phenylethyl]ethane-1,2-diamine and 0.06 g ethylene glycol in 2 mL THF containing 10 mg mol. sieve MS3A under ice-cooling, stirred for 10 min and treated with a solution of 0.35 g 4-[5-(imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethylbenzoic acid Me ester in 5 mL THF. The resulting mixture was stirred for 20 min under ice-cooling, treated with a 0.39 g polymethylhydrosilane in 2 mL THF, warmed to room temperature, stirred for 6 h, treated with 4 mL 1 M NaOH aqueous solution, stirred for 1 h, treated with 18 mL ethanol, stirred at 78° for 3 h, cooled, and filtered through celite. The filtrate was adjusted to pH 5.0 by adding 1 M aqueous HCl solution and the precipitated crystals were filtered to give 0.24 g (S)-4-[hydroxy[5-(imidazol-1-yl)-2-methylphenyl]methyl]-3,5-dimethylbenzoic acid (70% yield, 84.2% ee).

IT 107433-18-1, 4-[5-(Imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethylbenzoic acid
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of optically active [hydroxy[(imidazolyl)methylphenyl]methyl]dimethylbenzoic acid or ester by asym. reduction of [(imidazolyl)methylbenzoyl]dimethylbenzoic acid or ester with silane, zinc compound, and chiral diamine)

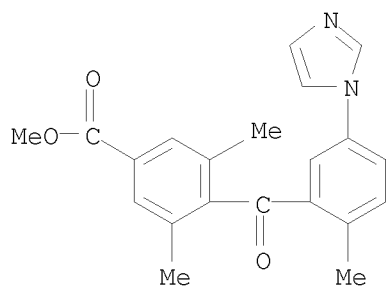
RN 107433-18-1 HCAPLUS
 CN Benzoic acid, 4-[5-(1H-imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethyl- (CA INDEX NAME)



IT 863782-77-8P, 4-[5-(Imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethylbenzoic acid methyl ester 863782-78-9P, 4-[5-(Imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethylbenzoic acid isopropyl ester
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of optically active [hydroxy[(imidazolyl)methylphenyl]methyl]dimethylbenzoic acid or ester by asym. reduction of [(imidazolyl)methylbenzoyl]dimethylbenzoic acid or ester with silane, zinc compound, and chiral diamine)

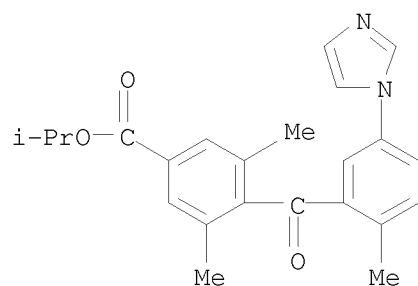
RN 863782-77-8 HCAPLUS
 CN Benzoic acid, 4-[5-(1H-imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethyl-, methyl ester (CA INDEX NAME)

10589952



RN 863782-78-9 HCAPLUS

CN Benzoic acid, 4-[5-(1H-imidazol-1-yl)-2-methylbenzoyl]-3,5-dimethyl-,
1-methylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> LOG Y

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
92.44	473.58

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
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